WHAT IS CLAIMED IS:

1. A method for the treatment of cancer comprising administering to a mammal a formulation comprising at least one compound of a pharmaceutical composition of the formula:

wherein:

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X and Y may be different or the same and are independently selected from the group consisting of H, halogen, alkyl, alkoxy, aryl, substituted aryl, hydroxy, amino, alkylamino, cycloalkyl, morpholine, thiomorpholine, nitro, cyano, CF₃, OCF₃, COR₁, COOR₁, CONH₂, CONHR₁, and NHCOR₁;

n is an integer from one to three;

m is an integer from one to four;

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R is selected from the group consisting of H, CH₃, C₂H₅, C₃H₇, C₄H₉, CH₂Ph, CH₂C₆H₄-F(p-), COCH₃, COCH₂CH₃, CH₂CH₂N(CH₃)₂, and CH₂CH₂CH₂N(CH₃)₂; and

R₁ and R₂ are independently selected from the group consisting of H, alkyl, substituted alkyl, alkenyl, substituted alkenyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, polycycloalkyl, substituted polycycloalkyl, polycycloalkenyl, substituted polycycloalkenyl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, arylcycloalkyl, substituted arylcycloalkyl, heteroarylcycloalkyl, substituted heteroarylcycloalkyl, heterocyclic ring, substituted heteroatom.

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2. The method of Claim 1, wherein method for the treatment of cancer comprises administering a formulation comprising at least one compound selected from the group consisting of

S-7
$$O \rightarrow CF_3$$
 $N \rightarrow NH$
 $F_3C \rightarrow O$

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$$S-42 \longrightarrow H \longrightarrow N \longrightarrow NH$$

$$S-49 \qquad \begin{cases} N \\ N \\ N \end{cases}$$

10 S-52 N N NH

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$$\mathbf{S-71} \qquad \stackrel{\mathsf{O}}{\longleftarrow} \qquad \stackrel{\mathsf{N}}{\longleftarrow} \qquad \stackrel{\mathsf{N}}{\longleftarrow} \qquad \stackrel{\mathsf{N}}{\longrightarrow} \qquad \stackrel{\mathsf{N}$$

B-15

B-16

$$H_3C^{\circ}$$
 H_3C°
 H_3C

E-878 E-879 E-893 E-915 E-916 E-925 E-926

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E-928 E-929 E-930 E-931 E-932 E-933 E-934

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E-13264 E-13265 E-13266 E-13268 E-13525 E-13530 E-13603 E-13604 E-13615

5,

3. A method for the treatment of cancer comprising administering to a mammal a formulation comprising at least one compound of a pharmaceutical composition of the formula:

X and Y may be different or the same and are independently selected from the group consisting of H, halogen, alkyl, alkoxy, aryl, substituted aryl, hydroxy, amino, alkylamino, cycloalkyl, morpholine, thiomorpholine, nitro, cyano, CF₃, OCF₃, COR₁, COOR₁, CONH₂, CONHR₁, and NHCOR₁;

n is an integer from one to three;

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m is an integer from one to four;

R is selected from the group consisting of H, CH₃, C₂H₅, C₃H₇, C₄H₉, CH₂Ph, CH₂C₆H₄-F(p-), COCH₃, COCH₂CH₃, CH₂CH₂N(CH₃)₂, and CH₂CH₂CH₂N(CH₃)₂; and

R₁ and R₂ are independently selected from the group consisting of H, alkyl, substituted alkyl, alkenyl, substituted alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, polycycloalkyl, substituted polycycloalkyl, polycycloalkenyl, substituted polycycloalkyl, polycycloalkenyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, arylcycloalkyl, substituted arylcycloalkyl, heteroarylcycloalkyl, and substituted heteroarylcycloalkyl, heterocyclic ring, substituted heterocyclic ring, substituted heteroatom, aryl, and substituted aryl, wherein at least one of R₁ and R₂ is selected from aryl or substituted aryl.

4. The method of Claim 3, wherein method for the treatment of cancer comprises administering a formulation comprising at least one compound selected from the group consisting of [

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B-1 B-5 B-6

WO 03/082186

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B-227 
$$CI \longrightarrow HN \longrightarrow NH$$

B-228  $CI \longrightarrow NH$ 

B-243  $HN \longrightarrow NH$ 

B-244  $H_3C \longrightarrow CH_3 \longrightarrow NH$ 

B-245  $H_3C \longrightarrow CH_3 \longrightarrow NH$ 

B-248  $CI \longrightarrow NH$ 

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E-846

E-872

E-883

E-884

E-885

E-886

E-887

E-888

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E-889

E-890

E-892

$$CI \longrightarrow H$$
 $CI \longrightarrow H$ 
 $CI \longrightarrow H$ 

E-13192 E-13199 E-13201 E-13204 E-13205 E-13206 E-13207 H<sub>3</sub>CO E-13208

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E-13236 E-13237 E-13244 E-13245 E-13246 E-13250

5. A method for the treatment of cancer comprising administering to a mammal a formulation comprising at least one compound of a pharmaceutical composition of the formula:

wherein:

X and Y may be different or the same and are independently selected from the group consisting of H, halogen, alkyl, alkoxy, aryl, substituted aryl, hydroxy, amino,

182

alkylamino, cycloalkyl, morpholine, thiomorpholine, nitro, cyano, CF3, OCF3, COR1, COOR<sub>1</sub>, CONH<sub>2</sub>, CONHR<sub>1</sub>, and NHCOR<sub>1</sub>;

n is an integer from one to four;

m is an integer from one to four;

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R is selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, C<sub>3</sub>H<sub>7</sub>, C<sub>4</sub>H<sub>9</sub>, CH<sub>2</sub>Ph, CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>-F(p-), COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>; and

A and B rings independently comprise unsubstituted or substituted carbon atoms ranging from four carbon atoms to ten carbon atoms.

The method of Claim 5, wherein method for the treatment of cancer comprises administering a formulation comprising at least one compound selected from the group consisting 10 of

A method for the treatment of cancer comprising administering to a mammal a 7. formulation comprising at least one compound of a pharmaceutical composition of the formula:

X and Y may be different or the same and are independently selected from the

group consisting of H, halogen, alkyl, alkoxy, aryl, substituted aryl, hydroxy, amino, alkylamino, cycloalkyl, morpholine, thiomorpholine, nitro, cyano, CF3, OCF3, COR1, COOR<sub>1</sub>, CONH<sub>2</sub>, CONHR<sub>1</sub>, and NHCOR<sub>1</sub>;

n is an integer from one to three;

m is an integer from one to five;

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R is selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, C<sub>3</sub>H<sub>7</sub>, C<sub>4</sub>H<sub>9</sub>, CH<sub>2</sub>Ph, CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>-F(p-), COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>; and

R<sub>1</sub> is selected from the group consisting of H, alkyl, substituted alkyl, alkenyl, substituted alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkyl, substituted cycloalkenyl, polycycloalkyl, substituted polycycloalkyl, polycycloalkenyl, substituted polycycloalkenyl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, arylcycloalkyl, substituted arylcycloalkyl, heteroarylcycloalkyl, and substituted heteroarylcycloalkyl, aryl, substituted aryl, heterocyclic ring, substituted heteroatom.

8. The method of Claim 7, wherein method for the treatment of cancer comprises administration of a formulation comprising at least one compound selected from the group consisting of

9. A method for the treatment of cancer comprising administering to mammal a formulation comprising at least one compound of a pharmaceutical composition of the formula:

X and Y may be different or the same and are independently selected from the group consisting of H, halogen, alkyl, alkoxy, aryl, substituted aryl, benzo, hydroxy, amino,

alkylamino, cycloalkyl, morpholine, thiomorpholine, nitro, cyano, CF<sub>3</sub>, OCF<sub>3</sub>, COR<sub>2</sub>, COOR<sub>2</sub>, CONH<sub>2</sub>, CONHR<sub>2</sub>, and NHCOR<sub>2</sub>;

n is an integer from one to four;

m is an integer from one to four;

R is selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, C<sub>3</sub>H<sub>7</sub>, C<sub>4</sub>H<sub>9</sub>, CH<sub>2</sub>Ph, CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>-F(p-), COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>; and

R<sub>2</sub> is selected from the group consisting of H, alkyl, substituted alkyl, alkenyl, substituted alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkyl, substituted polycycloalkyl, polycycloalkyl, substituted polycycloalkyl, polycycloalkyl, substituted polycycloalkyl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, arylcycloalkyl, substituted arylcycloalkyl, heteroarylcycloalkyl, and substituted heteroarylcycloalkyl, aryl, substituted aryl, heterocyclic ring, substituted heteroatom, and substituted heteroatom.

10. The method of Claim 9, wherein method for the treatment of cancer comprises administering a formulation comprising at least one compound selected from the group consisting of

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$$S-61 \qquad F_3C \qquad NH \qquad NH$$

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S-122

S-123 ON NH

S-138 F<sub>3</sub>C N NH

S-139 F NH

B-91 CI CH<sub>3</sub> O CI CI CI

B-92 CI N OH CI

B-93 CI N CH<sub>3</sub> CCI

B-108 CI NH ONH CI

B-127 H<sub>3</sub>C N O NH CI

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B-128 B-129 B-130 B-131 B-134 B-135 B-136 B-137

11. The method as in any one of the preceding claims, in which the method further comprises administering at least one additional ingredient which is active in reducing at least one symptom associated with said cellular proliferation.

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- 12. The method according to Claim 11, wherein said at least one additional ingredient is selected from the group consisting of antifungals, antivirals, antibiotics, anti-inflammatories, and anticancer agents.
- 13. The method according to Claim 11, wherein said at least one additional ingredient is selected from the group consisting of alkylating agent, antimetabolite, DNA cutter, topoisomerase I poison, topoisomerase II poison, DNA binder, and spindle poison.
- 14. The method as in any one of the preceding claims, wherein said administering a formulation comprises providing to said mammal a dose of about 0.01 mg to about 100 mg per kg body weight per day.
- 15. The method according to Claim 14, wherein said dose is administered in divided doses at regular periodic intervals.
  - 16. The method according to Claim 15, wherein said regular periodic intervals occur daily.
- The use of a compound for the preparation of a medicament for treating a mammal suffering from cancer, wherein said compound has the formula:

X and Y may be different or the same and are independently selected from the group consisting of H, halogen, alkyl, alkoxy, aryl, substituted aryl, hydroxy, amino, alkylamino, cycloalkyl, morpholine, thiomorpholine, nitro, cyano, CF<sub>3</sub>, OCF<sub>3</sub>, COR<sub>1</sub>, COOR<sub>1</sub>, CONH<sub>2</sub>, CONHR<sub>1</sub>, and NHCOR<sub>1</sub>;

n is an integer from one to three;

m is an integer from one to four;

R is selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, C<sub>3</sub>H<sub>7</sub>, C<sub>4</sub>H<sub>9</sub>, CH<sub>2</sub>Ph, CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>-F(p-), COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>; and

R<sub>1</sub> and R<sub>2</sub> are independently selected from the group consisting of H, alkyl, substituted alkyl, alkenyl, substituted alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, polycycloalkyl, substituted polycycloalkyl, polycycloalkenyl, substituted polycycloalkyl, polycycloalkenyl, substituted polycycloalkyl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, arylcycloalkyl, substituted arylcycloalkyl, heteroarylcycloalkyl, substituted heteroarylcycloalkyl, heterocyclic ring, substituted heteroatom.

18. The use of Claim 17, wherein the compound is selected from the group consisting

of '

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S-4
$$S-4$$

$$S-5$$

$$N+C$$

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S-7

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S-11 NH NH

S-12 NH NH

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$$S-22 \qquad \begin{array}{c} H \\ O \\ \end{array}$$

•

S-36 NH NH

S-38 N N NH

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s-47 NH

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S-52 NH

S-53

S-54 NH NH

S-55

S-57 HO NH ON NH

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S-65 S-66 S-67

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S-71

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PCT/US03/06981

S-82 S-83 Š S-86 10 S-87 S-88

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H<sub>3</sub>C. О-СН₃ B-21 B-22 **B-28** B-46

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C-1259 C-1300 **D-27 D-28** D-31 E-632 E-660 E-847 E-848

E-878 E-879 E-893 E-915 E-925

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E-929 E-930 E-931 E-932 E-933 E-934

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E-13234 E-13238 E-13240 E-13241 E-13243 E-13248

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E-13249

19. The use of a compound for the preparation of a medicament for treating a mammal suffering from cancer, wherein said compound has the formula:

X and Y may be different or the same and are independently selected from the group consisting of H, halogen, alkyl, alkoxy, aryl, substituted aryl, hydroxy, amino, alkylamino, cycloalkyl, morpholine, thiomorpholine, nitro, cyano, CF<sub>3</sub>, OCF<sub>3</sub>, COR<sub>1</sub>, COOR<sub>1</sub>, CONH<sub>2</sub>, CONH<sub>R</sub>, and NHCOR<sub>1</sub>;

n is an integer from one to three;

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m is an integer from one to four;

R is selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, C<sub>3</sub>H<sub>7</sub>, C<sub>4</sub>H<sub>9</sub>, CH<sub>2</sub>Ph, CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>-F(p-), COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>; and

 $R_1$  and  $R_2$  are independently selected from the group consisting of H, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, polycycloalkyl, substituted polycycloalkyl, polycycloalkyl, substituted polycycloalkyl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, arylcycloalkyl, substituted arylcycloalkyl, heteroarylcycloalkyl, and substituted heteroarylcycloalkyl, heterocyclic ring, substituted heteroatom, aryl, and substituted aryl, wherein at least one of  $R_1$  and  $R_2$  is selected from aryl or substituted aryl;

for the preparation of a medicament for treating a mammal suffering from cancer.

20. The use of Claim 19, wherein the compound selected from the group consisting of

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B-62

$$H_{3}C$$
 $H_{N}$ 
 $H_{N}$ 

B-249

B-250

$$CI \longrightarrow ANH$$
 $ANH$ 
 $AN$ 

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E-846

WO 03/082186

E-874

E-875

E-876

E-877

E-880

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$$\begin{array}{c|c} H_3CO & H_3 & OCH_3 \\ H_3CO & H_3CO & OCH_3 \\ \hline \end{array}$$

E-881

E-882

260

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21. The use of a compound for the preparation of a medicament for treating a mammal suffering from cancer, wherein said compound has the formula:

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wherein:

X and Y may be different or the same and are independently selected from the group consisting of H, halogen, alkyl, alkoxy, aryl, substituted aryl, hydroxy, amino,

alkylamino, cycloalkyl, morpholine, thiomorpholine, nitro, cyano, CF<sub>3</sub>, OCF<sub>3</sub>, COR<sub>1</sub>, COOR<sub>1</sub>, CONH<sub>2</sub>, CONHR<sub>1</sub>, and NHCOR<sub>1</sub>;

n is an integer from one to four;

. m is an integer from one to four;

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R is selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, C<sub>3</sub>H<sub>7</sub>, C<sub>4</sub>H<sub>9</sub>, CH<sub>2</sub>Ph,

CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>-F(p-), COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>; and

A and B rings independently comprise unsubstituted or substituted carbon atoms ranging from four carbon atoms to ten carbon atoms;

for the preparation of a medicament for treating a mammal suffering from cancer.

22. The use of Claim 21, wherein the compound selected from the group consisting of

23. The use of a compound for the preparation of a medicament for treating a mammal suffering from cancer, wherein said compound has the formula:

$$R_1 \xrightarrow{\text{HN} \text{ II}} N \xrightarrow{\text{N}} (\text{GENUS D})$$

wherein:

X and Y may be different or the same and are independently selected from the group consisting of H, halogen, alkyl, alkoxy, aryl, substituted aryl, hydroxy, amino, alkylamino, cycloalkyl, morpholine, thiomorpholine, nitro, cyano, CF<sub>3</sub>, OCF<sub>3</sub>, COR<sub>1</sub>, COOR<sub>1</sub>, CONH<sub>2</sub>, CONHR<sub>1</sub>, and NHCOR<sub>1</sub>;

n is an integer from one to three; m is an integer from one to five;

R is selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, C<sub>3</sub>H<sub>7</sub>, C<sub>4</sub>H<sub>9</sub>, CH<sub>2</sub>Ph, CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>-F(p-), COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>; and

R<sub>1</sub> is selected from the group consisting of H, alkyl, substituted alkyl, alkenyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkyl, substituted cycloalkenyl, polycycloalkyl, substituted polycycloalkyl, polycycloalkenyl, substituted polycycloalkenyl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, arylcycloalkyl, substituted arylcycloalkyl, heteroarylcycloalkyl, and substituted heteroarylcycloalkyl, aryl, substituted aryl, heterocyclic ring, substituted heterocyclic ring, heteroatom, and substituted heteroatom; for the preparation of a medicament for treating a mammal suffering from cancer.

24. The use of Claim 23, wherein the compound selected from the group consisting of

25. The use of a compound for the preparation of a medicament for treating a mammal suffering from cancer, wherein said compound has the formula:

X and Y may be different or the same and are independently selected from the group consisting of H, halogen, alkyl, alkoxy, aryl, substituted aryl, benzo, hydroxy, amino, alkylamino, cycloalkyl, morpholine, thiomorpholine, nitro, cyano, CF<sub>3</sub>, OCF<sub>3</sub>, COR<sub>2</sub>, CONH<sub>2</sub>, CONH<sub>2</sub>, CONH<sub>2</sub>, and NHCOR<sub>2</sub>;

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n is an integer from one to four;

m is an integer from one to four;

R is selected from the group consisting of H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, C<sub>3</sub>H<sub>7</sub>, C<sub>4</sub>H<sub>9</sub>, CH<sub>2</sub>Ph, CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>-F(p-), COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>; and

R<sub>2</sub> is selected from the group consisting of H, alkyl, substituted alkyl, alkenyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, polycycloalkyl, substituted polycycloalkyl, polycycloalkenyl, substituted polycycloalkenyl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, arylcycloalkyl, substituted arylcycloalkyl, heteroarylcycloalkyl, and substituted heteroarylcycloalkyl, aryl, substituted aryl, heterocyclic ring, substituted heterocyclic ring, heteroatom, and substituted heteroatom; for the preparation of a medicament for treating a mammal suffering from cancer.

26. The use of Claim 25, wherein the compound selected from the group consisting of

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27. The use as in any of Claims 17-26, in which the medicament further comprises at least one additional ingredient which is active in reducing at least one symptom associated with said cellular proliferation.

- 28. The use according to Claim 27, wherein said at least one additional ingredient is selected from the group consisting of antifungals, antivirals, antibiotics, anti-inflammatories, and anticancer agents.
- 29. The use according to Claim 27, wherein said at least one additional ingredient is selected from the group consisting of alkylating agent, antimetabolite, DNA cutter, topoisomerase I poison, topoisomerase II poison, DNA binder, and spindle poison.
  - 30. The use as in any of Claims 17-29, wherein said medicament is formulated to provide to said mammal a dose of about 0.01 mg to about 100 mg per kg body weight per day.